

WE CLAIM:

1. An oral solid composition of nateglinide comprising:
 2. a) nateglinide or pharmaceutically acceptable salts thereof; and
 3. b) at least one pharmaceutically acceptable surfactant,
1. 2. The oral solid composition of claim 1, wherein the nateglinide comprises an amount of
2. from about 5% w/w to about 70% w/w of the composition.
1. 3. The oral solid composition of claim 1, wherein the surfactant comprises one or more
2. of anionic, nonionic, cationic, and mixtures thereof.
1. 4. The oral solid composition of claim 3, wherein the anionic surfactants comprises one
2. or more of sodium lauryl sulphate, potassium dodecyl sulphonate, sodium dodecyl benzene
3. sulphonate, sodium salt of lauryl polyoxyethylene sulphate, lauryl polyethylene oxide
4. sulfonate, dioctyl ester of sodium sulphosuccinic acid or sodium lauryl sulphonate, and
5. mixtures thereof.
1. 5. The oral solid composition of claim 4, wherein the surfactant is sodium lauryl
2. sulphate.
1. 6. The oral solid composition of claim 3, wherein the nonionic surfactants comprises one
2. or more of polysorbate 80, nonyl phenol polyoxyethylene ether, tridecyl alcohol
3. polyoxyethylene ether, dodecyl mercaptan polyoxyethylene thioether, the lauric ester of
4. polyethylene glycol, the lauric ester of sorbitan polyoxyethylene ether or tertiary alkyl amine
5. oxide, and mixtures thereof.
1. 7. The oral solid composition of claim 6, wherein the surfactant is polysorbate 80.
1. 8. The oral solid composition of claim 3, wherein the cationic surfactants comprises one
2. or more of distearyl dimethyl ammonium chloride, stearyl dimethyl benzyl ammonium
3. chloride, stearyl trimethyl ammonium chloride, coco dimethyl benzyl ammonium chloride,
4. dicoco dimethyl ammonium chloride, cetyl pyridinium chloride, cetyl trimethyl ammonium
5. bromide, stearyl amine salts that are soluble in water such as stearyl amine acetate and stearyl
6. amine hydrochloride, stearyl dimethyl amine hydrochloride, distearyl amine hydrochloride,

7 alkyl phenoxyethoxyethyl dimethyl ammonium chloride, decyl pyridinium bromide,
8 pyridinium chloride derivative of the acetyl amino ethyl esters of lauric acid, lauryl trimethyl
9 ammonium chloride, decyl amine acetate, lauryl dimethyl ethyl ammonium chloride, the
10 lactic acid and citric acid and other acid salts of stearyl-1-amidoimidazoline with methyl
11 chloride, benzyl chloride, chloroacetic acid and similar compounds, and mixtures thereof.

1 9. The oral solid composition of claim 1, wherein the surfactant comprises an amount of
2 from about 0.5% w/w to about 10% w/w of the composition.

1 10. The oral solid composition of claim 1, wherein the composition further comprises one
2 or more pharmaceutically acceptable excipients comprising fillers, binders, disintegrants,
3 lubricants, glidants, coloring agents, flavoring agents, and coatings.

1 11. The oral solid composition of claim 10, wherein the filler comprises one or more of
2 corn starch, lactose, white sugar, sucrose, sugar compressible, sugar confectioners, glucose,
3 sorbitol, calcium carbonate, calcium phosphate-dibasic, calcium phosphate-tribasic, calcium
4 sulfate, microcrystalline cellulose, silicified microcrystalline cellulose, cellulose powdered,
5 dextrates, dextrose, fructose, kaolin, lactitol, mannitol, sorbitol, starch, starch
6 pregelatinized, sucrose, and mixtures thereof.

1 12. The oral solid composition of claim 11, wherein the filler is lactose.

1 13. The oral solid composition of claim 11, wherein the filler is microcrystalline cellulose.

1 14. The oral solid composition of claim 10, wherein the binder comprises one or more of
2 methyl cellulose, hydroxypropyl cellulose, polyvinylpyrrolidone, gelatin, gum arabic, ethyl
3 cellulose, polyvinyl alcohol, pullulan, pregelatinized starch, agar, tragacanth, sodium alginate,
4 propylene glycol, and mixtures thereof.

1 15. The oral solid composition of claim 14, wherein the binder is polyvinylpyrrolidone.

1 16. The oral solid composition of claim 10, wherein the disintegrant comprises one or
2 more of starch, croscarmellose sodium, crospovidone, sodium starch glycolate, and mixtures
3 thereof.

1 17. The oral solid composition of claim 16, wherein the disintegrant is croscarmellose
2 sodium.

1 18. The oral solid composition of claim 10, wherein the lubricant comprises one or more
2 of colloidal anhydrous silica, stearic acid, magnesium stearate, calcium stearate, talc,
3 hydrogenated castor oil, sucrose esters of fatty acids, microcrystalline wax, yellow beeswax,
4 white beeswax, and mixtures thereof.

1 19. The oral solid composition of claim 18, wherein the lubricant is magnesium stearate.

1 20. The oral solid composition of claim 1, further comprising at least one other anti-
2 diabetic compound.

1 21. The oral solid composition of claim 20, wherein the antidiabetic compound comprises
2 glitazones, sulfonyl urea derivatives and metformin, either in free form or in form of a
3 pharmaceutically acceptable salt thereof.

1 22. The oral solid composition of claim 1, wherein the composition comprises one or
2 more of powder, tablets, granules, pellets, spheroids, caplets and capsules.

1 23. The oral solid composition of claim 22, wherein the composition is a tablet.

1 24. The oral solid composition of claim 23, wherein the tablet is coated with film-forming
2 agents.

1 25. The oral solid composition of claim 22, wherein the composition is a capsule.

1 26. An oral solid composition comprising from about 5% w/w to about 70% w/w of
2 nateglinide and from about 0.5% w/w to about 10% w/w of at least one pharmaceutically
3 acceptable surfactant.

1 27. The oral solid composition of claim 26, wherein the surfactant comprises one or more
2 of anionic, nonionic, cationic, and mixtures thereof.

1 28. The oral solid composition of claim 27, wherein the anionic surfactants comprises one
2 or more of sodium lauryl sulphate, potassium dodecyl sulphonate, sodium dodecyl benzene
3 sulphonate, sodium salt of lauryl polyoxyethylene sulphate, lauryl polyethylene oxide

4 sulfonate, dioctyl ester of sodium sulfosuccinic acid or sodium lauryl sulphonate, and
5 mixtures thereof.

1 29. The oral solid composition of claim 27, wherein the nonionic surfactants comprises
2 one or more of polysorbate 80, nonyl phenol polyoxyethylene ether, tridecyl alcohol
3 polyoxyethylene ether, dodecyl mercaptan polyoxyethylene thioether, the lauric ester of
4 polyethylene glycol, the lauric ester of sorbitan polyoxyethylene ether or tertiary alkyl amine
5 oxide, and mixtures thereof.

1 30. The oral solid composition of claim 27, wherein the cationic surfactants comprises one
2 or more of distearyl dimethyl ammonium chloride, stearyl dimethyl benzyl ammonium
3 chloride, stearyl trimethyl ammonium chloride, coco dimethyl benzyl ammonium chloride,
4 dicoco dimethyl ammonium chloride, cetyl pyridinium chloride, cetyl trimethyl ammonium
5 bromide, stearyl amine salts that are soluble in water such as stearyl amine acetate and stearyl
6 amine hydrochloride, stearyl dimethyl amine hydrochloride, distearyl amine hydrochloride,
7 alkyl phenoxyethoxyethyl dimethyl ammonium chloride, decyl pyridinium bromide,
8 pyridinium chloride derivative of the acetyl amino ethyl esters of lauric acid, lauryl trimethyl
9 ammonium chloride, decyl amine acetate, lauryl dimethyl ethyl ammonium chloride, the
10 lactic acid and citric acid and other acid salts of stearyl-1-amidoimidazoline with methyl
11 chloride, benzyl chloride, chloroacetic acid and similar compounds, and mixtures thereof.

1 31. The oral solid composition of claim 26, wherein the composition further comprises
2 one or more pharmaceutically acceptable excipients comprising fillers, binders, disintegrants,
3 lubricants, glidants, coloring agents, flavoring agents, and coatings.

1 32. The oral solid composition of claim 26, further comprising at least one other
2 antidiabetic compound.

1 33. A process for the preparation of a pharmaceutical composition of nateglinide, the
2 process comprising the steps of:

3 a) blending nateglinide or pharmaceutically acceptable salts thereof,
4 surfactant and one or more pharmaceutically acceptable excipients;
5 and;

- 6 b) processing into a solid dosage form.
- 1 34. The process of claim 33, wherein the blend of step a) is granulated.
- 1 35. The process of claim 34, wherein the granulation is carried out by a wet granulation or
2 a dry granulation technique.
- 1 36. The process of claim 35, wherein the granulation comprises the wet granulation
2 technique.
- 1 37. The process of claim 36, wherein the wet granulation is carried out using a granulating
2 fluid comprising one or more of methylene chloride, isopropyl alcohol, acetone, methanol,
3 ethanol, water, and mixtures thereof.
- 1 38. The process of claim 35, wherein the granulation comprises the dry granulation
2 technique.
- 1 39. The process of claim 38, wherein the dry granulation is carried out by slugging or
2 roller compaction.
- 1 40. The process of claim 33, wherein the pharmaceutically acceptable excipients comprise
2 one or more of fillers, binders, disintegrants, lubricants, glidants, coloring agents, flavoring
3 agents, and coatings..
- 1 41. The process of claim 33, further comprising mixing at least one other antidiabetic
2 compound.
- 1 42. The process of claim 41, wherein the antidiabetic compound comprises one or more of
2 glitazones, sulfonyl urea derivatives and metformin, either in free form or in form of a
3 pharmaceutically acceptable salt.
- 1 43. The process of claim 33, wherein the dosage form comprises one or more of powder,
2 tablets, granules, pellets, spheroids, caplets and capsules.
- 1 44. The process of claim 43, wherein the dosage form is a tablet.
- 1 45. The process of claim 44, wherein the tablet is coated with film-forming agents.
- 1 46. The process of claim 43, wherein the dosage form is a capsule.

- 1 47. A process for preparation of oral tablets of nateglinide, the process comprising
- 2 blending nateglinide, surfactant, filler, disintegrant, binder and lubricant; and compressing.
- 1 48. A method for the prevention or treatment of metabolic disorders, type 2 diabetes
- 2 mellitus, or a disease or condition associated with diabetes mellitus, the method comprising
- 3 administering to a patient in need thereof a pharmaceutical composition comprising
- 4 nateglinide or pharmaceutically acceptable salts thereof; and at least one pharmaceutically
- 5 acceptable surfactant.